L7 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2001 ACS

AB The title compds. (I) [wherein n = 0-2; m = 1 or 2; X = S or O; Y = O, S, SO, or SO2; R1 = H or CO2R3, tetrazolyl, 3-hydroxyoxazolyl, 3-hydroxyisothiazolyl, 3-hydroxypyrazolyl, 3-hydroxy-1,2,4-oxadiazolyl, 2-thio-1,3,4-oxadiazolyl, 2-hydroxyoxazolyl, 2-hydroxythiazolyl, etc.; R2 = H, alkyl, OH, or NR7R8; R3 = H (ar)alkyl, or alkylcarbonyloxy(ar)alkyl; R4-R6 = independently H, trihalomethyl, (ar)alkyl, (hetero)aryl, OH, oxo, carboxy(alkyl), alkyloxycarbonyl, alkoxy(alkyl), (ar)alkyloxyalkyl, thio, alkylthio, (un)substituted amino, acyl, alkylcarbonylamino(alkyl), etc.; R7 and R8 = independently H, (ar)alkyl, aryl, (ar)alkylcabonyl, arylcarbonyl, or (ar)alkylcarboxy; or R7 and R8 together with the N to which they are attached form an (un)substituted mono-, bi-, or tricyclic ring system contg. 0-3 heteroatoms; or R7 and R8 = independently a 5-7 membered amine, imide, or lactam] were prepd. as inhibitors of protein tyrosine phosphatases (PTPases), such as PTP1B, CD45, SHP-1, SHP-2, PTP.alpha., LAR, and HePTP. For example, 5-(4-benzyloxy-1,3-dioxo-1,3-dihydroisoindol-2-ylmethyl)-2-(tert-butoxyoxalylamino)-4,7-dihydro-5H-thieno[2,3-c]pyran-3-carboxylic acid tert Bu ester was debenzylated using Pd/C in EtOAc (67%) and deesterified using 25% TFA in CH2Cl2 to afford II (72%). In a study evaluating for biol. activity against a truncated form of PTP1B, II inhibited PTP1B with a Ki of 1.5 .mu.M. I are useful in the treatment of type I diabetes, type II diabetes, impaired glucose tolerance, insulin resistance, obesity, and other diseases (no data).

AN 2001:208280 CAPLUS

DN 134:252328

TI Preparation of 2-(oxalylamino)-4,7-dihydro-5H-thieno[2,3-c]pyran-3-carboxylic acids as protein tyrosine phosphatase inhibitors

IN Andersen, Henrik Sune; Hansen, Thomas Kruse; Lau, Jesper; Moller, Niels Peter Hundahl; Olsen, Ole Hvilsted; Axe, Frank Urban; Ge, Yu; Holsworth, Daniel Dale; Jones, Todd Kevin; Judge, Luke Milburn; Ripka, Wiliam Charles; Shapira, Barry Zvi; Uyeda, Roy Teruyuki

PA Novo Nordisk A/S, Den.; Ontogen Corporation

SO PCT Int. Appl., 147 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001019831	A1	20010322	WO 2000-DK503	20000911

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

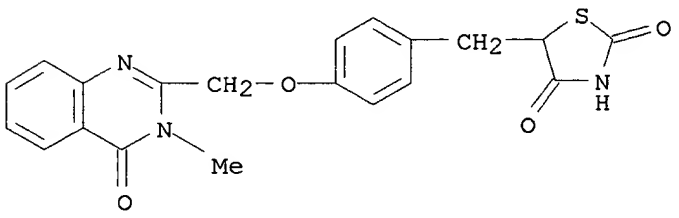
PRAI DK 1999-1278 A 19990910

OS MARPAT 134:252328

TI Preparation of 2-(oxalylamino)-4,7-dihydro-5H-thieno[2,3-c]pyran-3-carboxylic acids as protein tyrosine phosphatase inhibitors

IT **199113-98-9**, 5-[[4-[[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl]methyl]thiazolidine-2,4-dione
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(insulin sensitizer; combination therapy comprising insulin sensitizers

and 2-(oxalylamino)-4,7-dihydro-5H-thieno[2,3-c]pyran-3-carboxylic acid
PTP1B inhibitors)
RN 199113-98-9 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 7
RE
(1) Bristol-Myers Company; GB 1583679 A 1981 CAPLUS
(2) Iversen, L; The Journal of Biological Chemistry 2000, V275(14), P10300 CAPLUS
(3) Novo Nordisk AS; WO 9946237 A1 1999 CAPLUS
(4) Novo Nordisk AS; WO 9946267 A1 1999 CAPLUS
(5) Novo Nordisk AS; WO 9946268 A1 1999 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2001 ACS
AB The title compds. (I) [wherein n = 0-2; m = 1 or 2; X = S or O; Y = O, S, SO, or SO2; R1 = H or CO2R3, tetrazolyl, 3-hydroxyoxazolyl, 3-hydroxyisothiazolyl, 3-hydroxypyrazolyl, 3-hydroxy-1,2,4-oxadiazolyl, 2-thio-1,3,4-oxadiazolyl, 2-hydroxyoxazolyl, 2-hydroxythiazolyl, etc.; R2 = H, alkyl, OH, or NR7R8; R3 = H (ar)alkyl, or alkylcarbonyloxy(ar)alkyl; R4-R6 = independently H, trihalomethyl, (ar)alkyl, (hetero)aryl, OH, oxo, carboxy(alkyl), alkyloxycarbonyl, alkoxy(alkyl), (ar)alkyloxyalkyl, thio, alkylthio, (un)substituted amino, acyl, alkylcarbonylamino(alkyl), etc.; R7 and R8 = independently H, (ar)alkyl, aryl, (ar)alkylcabonyl, arylcarbonyl, or (ar)alkylcarboxy; or R7 and R8 together with the N to which they are attached form an (un)substituted mono-, bi-, or tricyclic ring system contg. 0-3 heteroatoms; or R7 and R8 = independently a 5-7 membered amine, imide, or lactam] were prepd. as inhibitors of protein tyrosine phosphatases (PTPases), such as PTP1B, CD45, SHP-1, SHP-2, PTP.alpha., LAR, and HePTP. For example, reaction of 2-bromomethyl-3-methoxymethoxybenzoic acid Me ester (prepn. given) with 2-amino-5-aminomethyl-6-(4-methoxybenzyl)-4,5,6,7-tetrahydrothieno[2,3-c]pyridine-3-carboxylic acid tert-Bu ester, amidation using imidazol-1-yloxoacetic acid tert-Bu ester, debenzylation using Pd/C and 10% formic acid in MeOH, and deesterification with 30% TFA afforded II.bul.xTFA (90%). In a study evaluating for biol. activity against a truncated form of PTP1B, II inhibited PTP1B with a Ki of 250 nM. I are useful in the treatment of type I diabetes, type II diabetes, impaired glucose tolerance, insulin resistance, obesity, and other diseases (no data).
AN 2001:208279 CAPLUS
DN 134:252327
TI Preparation of 2-(oxalylamino)-4,5,6,7-tetrahydrothieno[2,3-c]pyridine-3-carboxylic acids as protein tyrosine phosphatase inhibitors
IN Andersen, Henrik Sune; Hansen, Thomas Kruse; Lau, Jesper; Moller, Niels Peter Hundahl; Olsen, Ole Hvilsted; Axe, Frank Urban; Ge, Yu; Holsworth, Daniel Dale; Jones, Todd Kevin; Judge, Luke Milburn; Ripka, Wiliam Charles; Shapira, Barry Zvi; Uyeda, Roy Teruyuki
PA Novo Nordisk A/S, Den.; Ontogen Corp.
SO PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001019830	A1	20010322	WO 2000-DK502	20000911

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI DK 1999-1277 A 19990910
DK 2000-1069 A 20000707

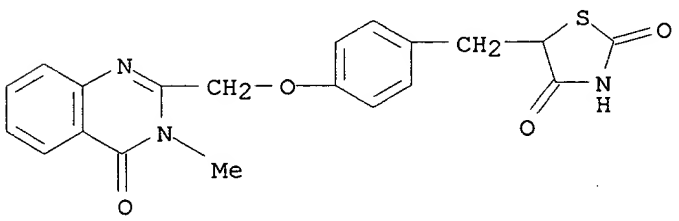
OS MARPAT 134:252327

TI Preparation of 2-(oxalylamino)-4,5,6,7-tetrahydrothieno[2,3-c]pyridine-3-carboxylic acids as protein tyrosine phosphatase inhibitors

IT **199113-98-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(insulin sensitizer; combination therapy comprising insulin sensitizers
and 2-(oxalylamino)-4,5,6,7-tetrahydrothieno[2,3-c]pyridine-3-carboxylic acid PTP1B inhibitors)

RN 199113-98-9 CAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 7
RE
(1) Bristol-Myers Company; GB 1583679 A 1981 CAPLUS
(2) Iversen, L; THE JOURNAL OF BIOLOGICAL CHEMISTRY 2000, V275(14), P10300 CAPLUS
(3) Novo Nordisk AS; WO 9946237 A1 1999 CAPLUS
(4) Novo Nordisk AS; WO 9946267 A1 1999 CAPLUS
(5) Novo Nordisk AS; WO 9946268 A1 1999 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2001 ACS

AB The present invention provides a method of inhibiting protein tyrosine phosphatases (PTPases, PTPs), such as PTP1B, TC-PTP, CD45, SHP-1, PTP.alpha., PTP.epsilon., PTP.beta., PTP D1, PTP D2, PTPH1, and PTP-LAR, by administration of compds. which have structural, phys., and spatial characteristics that allow them to interact with an aspartic acid residue at position 48 of PTP1B and/or TC-PTP. Prepns. for over 100 thieno[2,3-c]pyrans and thieno[2,3-c]pyridines (I) [wherein n = 0-2; m = 0-2; and m = n .gtoreq. 1; X = S, O, NR8; Y = NR8, O, S, SO, SO2; R1 = H, CO2R3, or a 5-membered heterocycle such as tetrazolyl, 3-hydroxyisoxazolyl, 3-hydroxyisothiazolyl, 3-hydroxypyrazolyl, 2-(hydroxy
or thio)-1,3,4-oxadiazolyl, 2-oxoimidazolyl, etc.; R2 = H, alkyl, OH, or NR9R10; R3 = H, (ar)alkyl, or alkylcarbonyloxy(ar)alkyl; R4 - R6 = independently H, trihalomethyl, (ar)alkyl, aryl, OH, oxo, CO2H, carboxyalkyl, (ar)alkyloxycarbonyl, alkylaminoalkyl,

(ar)alkylcarbonylamino, etc.; R8 - R10 = independently H or (un)substituted (ar)alkyl, aryl, (ar)alkylcarbonyl, arylcarbonyl, or (ar)alkylcarboxy; or R9 and R10 together with the N to which they are attached form an (un)substituted cyclic, bicyclic, or tricyclic ring system contg. 0-3 heteroatoms; or R9 and R10 = independently a 5-7 membered cyclic amine, imide, or lactam] and structural-based PTPase inhibition data are included. For example, 5-(4-benzyloxy-1,3-dioxo-1,3-dihydroisoindol-2-ylmethyl)-2-(tert-butoxyoxalylamino)-4,7-dihydro-5H-thieno[2,3-c]pyran-3-carboxylic acid tert-Bu ester was debenzylated using Pd/C and treated with 25% TFA in CH2Cl2 to give II. II showed potency against PTP1B, PTP.alpha. D1, PTP.epsilon. D1, PTP.beta., and CD45 D1D2 with Ki values (.mu.M) of 1.9, 93, 11, 1.1, and 130, resp. I are indicated in the management or treatment of a broad range of diseases such as autoimmune diseases, acute and chronic inflammation, osteoporosis, various forms of cancer and malignant diseases, and type I diabetes and type II diabetes (no data). In addn., I are useful in the isolation of PTPases and in elucidation of their biol. function.

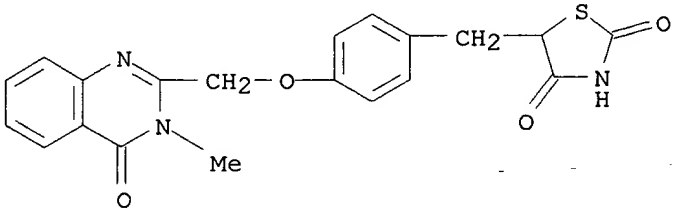
AN 2001:185561 CAPLUS
DN 134:237465
TI Method of inhibiting protein tyrosine phosphatases with an aspartic acid residue at position 48
IN Andersen, Henrik Sune; Hansen, Thomas Kruse; Iverson, Lars Fogh; Lau, Jesper; Moller, Niels Peter Hundahl; Olsen, Ole Hvilsted; Axe, Frank Urban; Ge, Yu; Holsworth, Daniel Dale; Jones, Todd Kevin; Judge, Luke Milburn; Ripka, William Charles; Shapira, Barry Zvi; Uyeda, Roy Teruyuki
PA Novo Nordisk A/S, Den.; Ontogen Corp.
SO PCT Int. Appl., 644 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

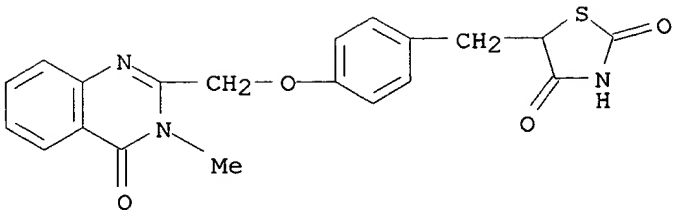
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001017516	A2	20010315	WO 2000-US24761	20000911

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI DK 1999-1279 A 19990910
US 1999-156641 P 19990929

TI Method of inhibiting protein tyrosine phosphatases with an aspartic acid residue at position 48
IT **199113-98-9**, 5-[[4-[[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl]methyl]thiazolidine-2,4-dione
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(insulin sensitizer; compns. contg. insulin sensitizers and selective inhibitors of protein tyrosine phosphatases)
RN 199113-98-9 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)





L7 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2001 ACS

AB The present invention provides a new stable pharmaceutical compn. contg. 5-[[4-[3-Methyl-4- oxo-3,4- dihydro-2- quinazolinyl] methoxy]phenyl -methyl] thiadiazolidine -2,4-dione (I) as active ingredient for the treatment of type-2 diabetes. A tablet contained I potassium salt 9, microcryst. cellulose 20, lactose 66, magnesium stearate 0.5, and talc 4.5%.

AN 2000:383924 CAPLUS

DN 133:34424

TI New pharmaceutical composition containing thiadiazolidine derivatives for the treatment of type-2 diabetes

IN Weibel, Helle; Hjorth, Thyge Borup

PA Novo Nordisk A/S, Den.; Reddy's Research Foundation

SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000032191	A1	20000608	WO 1999-DK663	19991129

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

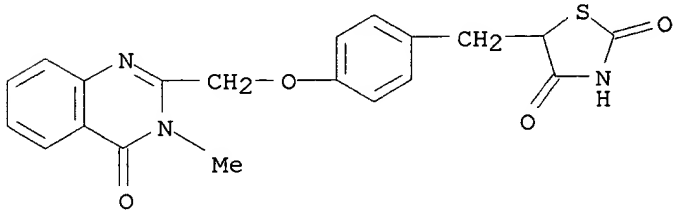
PRAI DK 1998-1580 A 19981201

TI New pharmaceutical composition containing thiadiazolidine derivatives for the treatment of type-2 diabetes

IT **199113-98-9**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new pharmaceutical compn. contg. thiadiazolidine derivs. for treatment of type-2 diabetes)

RN 199113-98-9 CAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



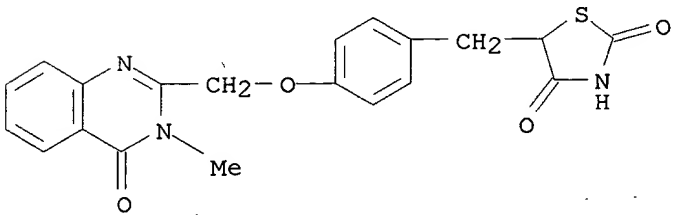
RE.CNT 2

RE
(1) Boehringer Ingelheim Pharma Kg; EP 0945134 A1 1999 CAPLUS
(2) The Procter & Gamble Company; WO 9217161 A1 1992 CAPLUS

L7 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2001 ACS
AB The title compd. 5-{4-[(3-methyl-4-oxo-3,4-dihydroquinazolin-2-yl)methoxy]benzyl}thiazolidine-2,4-dione (I), useful as antidiabetic agent (no data), was prepd. by reducing the compd. II (R = alkyl) over Raney Ni or Mg in C1-4 alc. or mixts. thereof, if desired reesterifying using H2SO4 at a temp. 0-60.degree., hydrolyzing the resulting compd. III, and condensing the acid IV with N-Me anthranilamide directly without any preactivation, and if desired, converting the compd. I to pharmaceutically acceptable salts thereof by conventional methods.
AN 2000:191085 CAPLUS
DN 132:222546
TI An improved process for the preparation of thiazolidine-2,4-dione derivatives
IN Chebiyyam, Prabhakar; Potlapally, Rajender Kumar; Gade, Chinna Bakki Reddy; Satish, Balaram Mahanti; Mamillapalli, Ramabhadra Sarma; Gaddam, Om Reddy
PA Reddy's Research Foundation, India
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

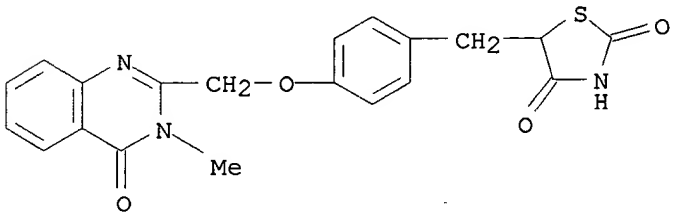
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000015638	A1	20000323	WO 1999-IB1530	19990910
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9954399	A1	20000403	AU 1999-54399	19990910
PRAI	IN 1998-MA20	0	19980914		
	WO 1999-IB1530	W	19990910		

OS CASREACT 132:222546; MARPAT 132:222546
TI An improved process for the preparation of thiazolidine-2,4-dione derivatives
IT **199113-98-9P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(an improved process for the prepn. of thiazolidine-2,4-dione derivs.)
RN 199113-98-9 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 5
RE
(1) Beecham Group Plc; EP 0306228 A 1989 CAPLUS
(2) Reddy S Research Foundation; WO 9741097 A 1997 CAPLUS
(3) Robertson, D; JOURNAL OF ORGANIC CHEMISTRY 1956, V21, P1190 CAPLUS
(4) Sankyo Co; EP 0454501 A 1991 CAPLUS
(5) Ss Pharmaceutical Co; EP 0787727 A 1997 CAPLUS

L7 ANSWER 6 OF 12 USPATFULL
AB The present invention relates to novel antidiabetic compounds, their tautomeric forms, their derivatives, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compositions containing them. This invention particularly relates to novel azolidinedione derivatives of the general formula (I), and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates and pharmaceutical compositions containing them ##STR1##
AN 2000:117907 USPATFULL
TI Heterocyclic compounds, process for their preparation and pharmaceutical
compositions containing them and their use in the treatment of diabetes and related diseases
IN Lohray, Vidya Bhushan, Hyderabad, India
Lohray, Braj Bhushan, Hyderabad, India
Paraselli, Rao Bheema, Hyderabad, India
Gurram, Ranga Madhavan, Hyderabad, India
Ramanujam, Rajagopalan, Hyderabad, India
Chakrabarti, Ranjan, Hyderabad, India
Pakala, Sarma K.S., Hyderabad, India
PA Dr. Reddy's Research Foundation, Hyderabad, India (non-U.S. corporation)
Reddy-Cheminor Inc., Ridgewood, NJ, United States (U.S. corporation)
PI US 6114526 20000905
AI US 1999-353286 19990714 (9)
RLI Continuation of Ser. No. US 1996-777627, filed on 31 Dec 1996, now patented, Pat. No. US 5885997 76 Ser. No. US 1997-884816, filed on 30 Jun 1997
PRAI IN 1996-115096 19960701
DT Utility
EXNAM Primary Examiner: Dees, Jose' G.; Assistant Examiner: Qazi, Sabiha N.
LREP Ladas & Parry
CLMN Number of Claims: 5
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2583
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
TI Heterocyclic compounds, process for their preparation and pharmaceutical
compositions containing them and their use in the treatment of diabetes and related diseases
IT **199113-98-9P**
(prepn. of thiazolidinediones and analogs as antidiabetics)
RN 199113-98-9 USPATFULL
CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 7 OF 12 USPATFULL

AB The present invention relates to novel antidiabetic compounds, their tautomeric forms, their derivatives, their analogues, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compositions containing them. This invention particularly relates to novel azolidinediones of the general formula (I), their analogues, their derivatives, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, pharmaceutically acceptable solvates and pharmaceutical compositions containing them. ##STR1##

AN 2000:24647 USPATFULL

TI Heterocyclic compounds having antidiabetic hypolipidemia and antihypertensive properties, process for their preparation and pharmaceutical compositions containing them

IN Lohray, Vidya Bhushan, Jubilee Hills, India
Lohray, Braj Bhushan, Jubilee Hills, India
Paraselli, Rao Bheema, Hyderabad, India
Ramanujam, Rajagopalan, Hyderabad, India
Chakrabarti, Ranjan, Hyderabad, India

PA Dr. Reddy's Research Foundation, Hyderabad, India (non-U.S. corporation)
Reddy-Cheminor, Inc., Ridgewood, NJ, United States (U.S. corporation)

PI US 6030973 20000229

AI US 1998-135566 19980817 (9)

RLI Division of Ser. No. US 1997-982911, filed on 2 Dec 1997, now abandoned

PRAI IN 1997-77197 19970415

DT Utility

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Kessinger, Ann M.

LREP Ladas & Parry

CLMN Number of Claims: 22

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1783

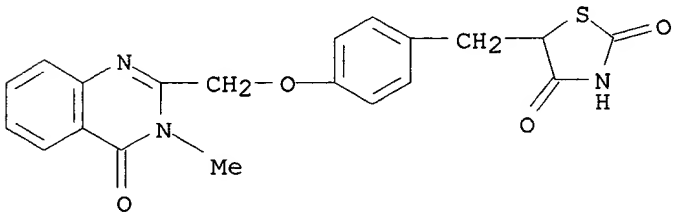
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

TI Heterocyclic compounds having antidiabetic hypolipidemia and antihypertensive properties, process for their preparation and pharmaceutical compositions containing them

IT **199113-98-9**
(prepn. and pharmacol. activity of benzoquinazolinonyl- and benzoxazinonylmethoxybenzylthiazolidinediones)

RN 199113-98-9 USPATFULL

CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 8 OF 12 USPATFULL

AB The present invention relates to novel antidiabetic compounds, their tautomeric forms, their analogues, their derivatives, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compositions containing them. This invention particularly relates to novel azolidinedione compounds of the general formula (I),

and their analogues, their derivatives, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, pharmaceutically acceptable solvates and pharmaceutical compositions containing them. ##STR1##

AN 2000:1879 USPATFULL

TI Heterocyclic compounds having antidiabetic hypolipidemic antihypertensive properties process for their preparation and pharmaceutical compositions containing them

IN Lohray, Vidya Bhushan, Hyderabad, India
Lohray, Braj Bhushan, Hyderabad, India
Gurram, Ranga Madhavan, Hyderabad, India
Ramanujam, Rajagopalan, Hyderabad, India
Chakrabarti, Ranjan, Hyderabad, India

PA Dr. Reddy's Research Foundation, Hyderabad, India (non-U.S. corporation)
Reddy-Cheminor, Inc., Ridgewood, NJ, United States (U.S. corporation)

PI US 6011036 20000104

AI US 1997-982962 19971202 (8)

PRAI IN 1997-77197 19970415

DT Utility

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Kessinger, Ann M.

LREP Ladas & Parry

CLMN Number of Claims: 19

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1622

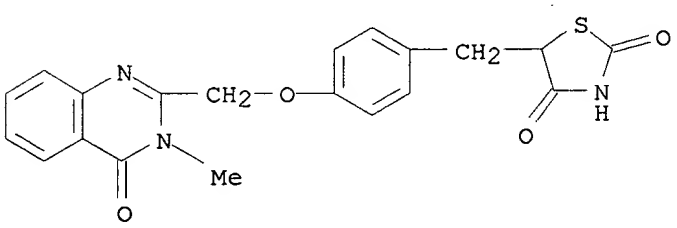
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

TI Heterocyclic compounds having antidiabetic hypolipidemic antihypertensive properties process for their preparation and pharmaceutical compositions containing them

IT **199113-98-9**
(prepn. and pharmacol. activity of benzoquinazolinonyl- and benzoxazinonylmethoxybenzylthiazolidinediones)

RN 199113-98-9 USPATFULL

CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 1

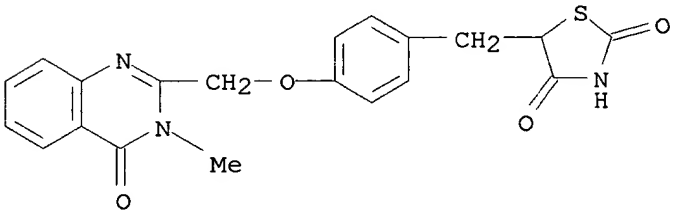
AB The title compds. [I; one of X, Y, Z = C(O), C(S) and one of the remaining of X, Y, Z = C and the other C:C; R1-R3 = H, halo, OH, etc.; n = 1-4; Ar = (un)substituted divalent aryl, heteroaryl; R4 = H, halo, alkyl or forms a bond together with the adjacent group A; A = N, CR5 (wherein R5 = H, halo, alkyl or R5 forms a bond together with R4); B = O, S when A = CR5 and B = O when A = N], novel antidiabetic compds., were prepd. and formulated. Thus, reacting 4-[2-(2-ethyl-4-methyl-6-oxo-1,6-dihydro-1-pyrimidinyl)ethoxy]benzaldehyde (prepn. given) with thiazolidine-2,4-dione afforded II which showed 67% max. redn. in blood glucose level at 100 mg/kg/day (6 days treatment) in mice.

AN 1999:733038 CAPLUS

DN 131:351343
TI Preparation of heterocyclic compounds for the treatment of diabetes and related diseases
IN Lohray, Vidya Bhushan; Lohray, Braj Bhushan; Paraselli, Rao Bheema; Gurram, Ranga Madhavan; Ramanujam, Rajagopalan; Chakrabarti, Ranjan; Pakala, Sarma K. S.
PA Reddy's Research Foundation, India; Reddy-Cheminor Inc.
SO U.S., 35 pp., Cont.-in-part of U.S. 5,885,997.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5985884	A	19991116	US 1997-884816	19970630
	US 5885997	A	19990323	US 1996-777627	19961231
	US 6114526	A	20000905	US 1999-353286	19990714
PRAI	IN 1996-MA1150	A	19960701		
	US 1996-777627	A2	19961231		
	US 1997-884816	A	19970630		

OS MARPAT 131:351343
TI Preparation of heterocyclic compounds for the treatment of diabetes and related diseases
IT **199113-98-9P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. for the treatment of diabetes and related diseases)
RN 199113-98-9 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 84
RE
(1) Ainsworth; US 5153210 1992 CAPLUS
(2) Anon; JP 09-12575 A CAPLUS
(3) Anon; AU 570067 CAPLUS
(5) Anon; 1980 CAPLUS
(7) Anon; EP 0139421 1985 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 2
AB The present invention relates to novel antidiabetic compds., their tautomeric forms, their derivs., their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable
solvates and pharmaceutically acceptable compns. contg. them. This invention particularly relates to novel azolidinedione derivs., and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates and pharmaceutical compns. contg. them. Approx. 30 title compds. such as I (R = Pr, Me, Et, Bu, benzyl) and their quinazoline analogs were prepd. in 66-99% yields, e.g., by condensation of aldehydes II with thiazolidine-2,4-dione. Antidiabetic data was given for several of the

prepd. compds. At 30 mg/kg/day, after 6 days, 5-[4-[2-[2-ethyl-4-methyl-6-oxo-1,5-dihydro-1-pyrimidinyl]ethoxy]phenylmethyl] thiazolidine-2,4-dione reduced the blood glucose level 73%, lowered triglycerides 70% and also lowered cholesterol in the rat.

AN 1999:212642 CAPLUS

DN 130:223293

TI Heterocyclic compounds, process for their preparation and pharmaceutical compositions containing them and their use in the treatment of diabetes and related diseases

IN Lohray, Vidya Bhushan; Lohray, Braj Bhushan; Paraselli, Rao Bheema

PA Reddy's Research Foundation, India; Reddy-Cheminor, Inc.

SO U.S., 26 pp.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5885997	A	19990323	US 1996-777627	19961231
	CA 2258949	AA	19971106	CA 1997-2258949	19970630
	WO 9741097	A2	19971106	WO 1997-US11522	19970630
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9737198	A1	19971119	AU 1997-37198	19970630
	US 5985884	A	19991116	US 1997-884816	19970630
	EP 958296	A1	19991124	EP 1997-934041	19970630
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
	BR 9711098	A	20000308	BR 1997-11098	19970630
	CN 1275982	A	20001206	CN 1997-195778	19970630
	NO 9806055	A	19981222	NO 1998-6055	19981222
	US 6114526	A	20000905	US 1999-353286	19990714
PRAI	IN 1996-MA1150	A	19960701		
	US 1996-777627	A	19961231		
	US 1997-884816	A	19970630		
	WO 1997-US11522	W	19970630		

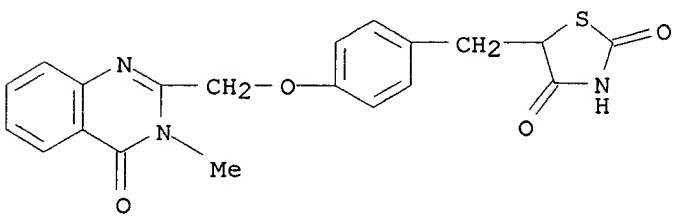
OS MARPAT 130:223293

TI Heterocyclic compounds, process for their preparation and pharmaceutical compositions containing them and their use in the treatment of diabetes and related diseases

IT **199113-98-9P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of pyrimidinylethoxybenzylthiazolidinediones)

RN 199113-98-9 CAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

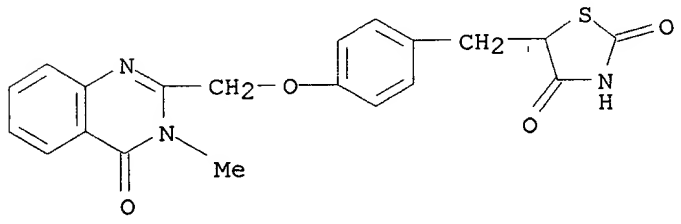


RE.CNT 57
RE
(1) Anon; EP 008203 A 1980 CAPLUS
(2) Anon; EP 155845 A 1985 CAPLUS
(4) Anon; AU 570067 1985 CAPLUS
(6) Anon; EP 0207581 1987 CAPLUS
(7) Anon; EP 0236624 1987 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2001 ACS
AB Title compds. such as I (R = H, Me, Et; X = O, NR1; R1 = H, Me, Et) were prepd. in 23-82% yields by cyclization of o-HXC6H4CONH2 with acetals such as II.
AN 1998:682388 CAPLUS
DN 129:290130
TI Substituted thiazolidinediones having antidiabetic, hypolipidemia and antihypertensive properties
IN Lohray, Vidya Bhushan; Lohray, Braj Bhushan; Paraselli, Rao Bheema; Ramanujam, Rajagopalan; Chakrabarti, Ranjan
PA Reddy's Research Foundation, India; Reddy-Cheminor Inc.
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9845291	A1	19981015	WO 1998-US7284	19980410
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9871097	A1	19981030	AU 1998-71097	19980410
	EP 1036075	A1	20000920	EP 1998-918108	19980410
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6030973	A	20000229	US 1998-135566	19980817
PRAI	US 1997-982911	A	19971202		
	IN 1997-MA771	A	19970415		
	WO 1998-US7284	W	19980410		

OS MARPAT 129:290130
TI Substituted thiazolidinediones having antidiabetic, hypolipidemia and antihypertensive properties
IT **199113-98-9**
RL: RCT (Reactant)
(prepn. and pharmacol. activity of benzoquinazolinonyl- and benzoxazinonylmethoxybenzylthiazolidinediones)
RN 199113-98-9 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2001 ACS

AB Title compds. [I; A = N, CR5; B = O or S; R = CHR4ZO(CH2)nR1; R1 = (un)substituted pyrimidinyl, -quinazolinyl, etc.; R4,R5 = H, halo, alkyl; R4R5 = bond; Z = divalent arom. or heterocyclic group; n = 1-4] were prepd. Thus, 4-methyl-2-propyl-1,6-dihydro-6-pyrimidinone was N-alkylated by 4-(BrCH2CH2O)C6H4CHO and the product condensed with thiazolidine-2,4-dione to give, after hydrogenation, title compd. II. Data for biol. activity of I were given.

AN 1997:740205 CAPLUS

DN 128:13282

TI Preparation of thiazolidinediones and analogs as antidiabetics

IN Lohray, Vidya Bhushan; Lohray, Braj Bhushan; Paraselli, Rao Bheema; Gurram, Ranga Madhavan; Ramanujam, Rajagopalan; Chakrabarti, Ranjan; Pakala, Sarma K. S.

PA Dr. Reddy's Research Foundation, India; Reddy-Cheminor, Inc.

SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9741097	A2	19971106	WO 1997-US11522	19970630
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5885997	A	19990323	US 1996-777627	19961231
	AU 9737198	A1	19971119	AU 1997-37198	19970630
	EP 958296	A1	19991124	EP 1997-934041	19970630
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
	BR 9711098	A	20000308	BR 1997-11098	19970630
	NO 9806055	A	19981222	NO 1998-6055	19981222
PRAI	US 1996-777627	A	19961231		
	IN 1996-MA1150	A	19960701		
	WO 1997-US11522	W	19970630		

OS MARPAT 128:13282

TI Preparation of thiazolidinediones and analogs as antidiabetics

IT **199113-98-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiazolidinediones and analogs as antidiabetics)

RN 199113-98-9 CAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)